

L Number	Hits	Search Text	DB	Time stamp
1	9737	546/119, 546/143, 546/153, 546/159, 546/255, 546/275.4, 546/275.7, 544/124, 544/360, 514/235.5, 514/253.01, 514/303, 514/314, 514/333, 514/338, 514/340	USPAT	2004/10/05 14:54
2	8289	GSK\$ or Aurora\$	USPAT	2004/10/05 14:55
3	33	(546/119, 546/143, 546/153, 546/159, 546/255, 546/275.4, 546/275.7, 544/124, 544/360, 514/235.5, 514/253.01, 514/303, 514/314, 514/333, 514/338, 514/340) and (GSK\$ or Aurora\$)	USPAT	2004/10/05 14:55

PALM INTRANET

Day : Tuesday
Date: 10/5/2004

Time: 14:50:30

Inventor Information for 10/736426

Inventor Name	City	State/Country
BEBBINGTON, DAVID	NEWBURY	UNITED KINGDOM
CHARRIER, JEAN-DAMIEN	WANTAGE	UNITED KINGDOM

Appln Info	Contents	Petition Info	Atty/Agent Info	Continuity Data	Foreign Data
------------	----------	---------------	-----------------	-----------------	--------------

Search Another: Application#

Search

or Patent#

Search

PCT / /

Search

or PG PUBS #

Search

Attorney Docket #

Search

Bar Code #

Search

To go back use Back button on your browser toolbar.

Back to [PALM](#) | [ASSIGNMENT](#) | [OASIS](#) | [Home page](#)

Broad Search

Match level :

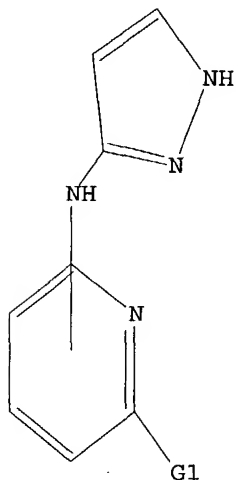
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 14:CLASS 15:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 C,O,S,N,Cb,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 13:53:31 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 817 TO ITERATE

100.0% PROCESSED 817 ITERATIONS

5 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 14626 TO 18054

PROJECTED ANSWERS: 5 TO 234

L2 5 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 13:53:38 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 16180 TO ITERATE

100.0% PROCESSED 16180 ITERATIONS

77 ANSWERS

SEARCH TIME: 00.00.01

L3 77 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.42

155.63

FILE 'CAPLUS' ENTERED AT 13:53:44 ON 05 OCT 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 5 Oct 2004 VOL 141 ISS 15

FILE LAST UPDATED: 4 Oct 2004 (20041004/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

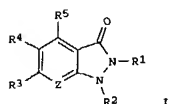
=> s l3

L4 13 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2004:370926 CAPLUS
 DOCUMENT NUMBER: 140:391292
 TITLE: Preparation of indazolinone compositions useful as kinase inhibitors
 INVENTOR(S): Aronov, Alex; Lauffer, David J.; Li, Huan Qui; Tomlinson, Ronald Charles; Li, Pan
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 260 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

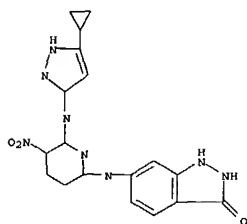
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037814	A1	20040506	WO 2003-US34065	20031027
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004167121	A1	20040826	US 2003-694534	20031027
PRIORITY APPL. INFO.:			US 2002-421398P	P 20021025
OTHER SOURCE(S):		MARPAT 140:391292		
GI				



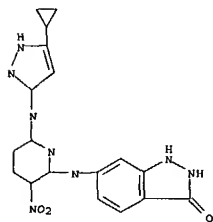
AB The present invention provides compds. of formula (I). [Wherein R1, R2 = H or a nitrogen protecting group; one of R3 or R4 = R and the other one of R3 or R4 = -Q1-A-Q2-Y; wherein Q1 = a valence bond, NRA, C(Ra)2, S, O, SO2, NRA-SO2, SO2NRA, CO, NRACO, CONRA, OC(O), C(O)O, OC(O)NRA, 1,2-cyclopropanediyl, 1,2-cyclobutanediyl, or 1,3-cyclobutanediyl, optionally substituted C2-4 alkylidene, etc.; wherein Ra = H, each

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 optionally substituted C1-4 aliph.; A = optionally substituted 5- to 7-membered monocyclic or 8- to 10-membered bicyclic aryl, heteroaryl, heterocyclic, carbocyclic ring, or C2-6 alkylidene, etc.; Q2 = NRA, SO, or C(Rc)2; wherein Rc = H, optionally substituted C1-4 aliph.; Y = each optionally substituted 5- to 7-membered monocyclic or 8- to 10-membered bicyclic aryl, heteroaryl, heterocyclic, or carbocyclic ring; R5 = R; Z = N, CR6; wherein R6 = R; R = H, halo, Q-halogen, cyano, Q-CN, NO2, Q-NO2, R7, Q-R7; Q = optionally substituted C1-4 alkylidene; wherein one or more methylene units of Q is optionally replaced by O, S, NR7, NR7CO, NR7CONR7, NR7CO2, CO, CO2, CONR7, OC(O)NR7, SO2, SO2NR7, NR7SO2, NR7SO2NR7, C(O)C(O), or C(O)C(R7)2C(O); wherein R7 = H, each optionally substituted aliph., heteroaliph., aryl or heteroaryl. The compds. I and pharmaceutically acceptable compns. thereof, are useful generally as protein kinase inhibitors, particularly as inhibitors of protein kinase PRAK, protein kinase GSK3, protein kinase ERK2, protein kinase CDK2, MAP kinase-activated protein kinase 2 (MK2), SRC kinase, protein kinase SYK, and protein kinase Aurora-2. Accordingly, the compds. I and compns. of the invention are useful for treating or lessening the severity of a disease or condition selected from cardiovascular disease, diabetes, neuro. disorders (e.g. Alzheimer's disease), immunodeficiency disorders, inflammatory diseases, allergic diseases, autoimmune diseases, destructive bone disorders such as osteoporosis, proliferative disorders, infectious diseases, and viral diseases. Thus, a soln. of (2-chloroquinazolin-4-yl)(5-cyclopropyl-1H-pyrazol-3-yl)amine (50.0 mg, 0.175 mmol) and 6-amino-3-oxo-2,3-dihydroindazole-1-carboxylic acid tert-Bu ester (69.8 mg, 0.280 mmol) in NMP (1.0 mL) was heated up to 100° for 6 h to give, after workup, acidification with CF3CO2H, and HPLC purifn., 6-[[6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]quinazolin-2-yl]amino]-1,2-dihydroindazol-3-one trifluoroacetate. Some compds. of the formula I were shown to have Ki of <0.1 µM for GSK-3 and Aurora-2 and <1.0 µM for CDK-2, ERK2, PRAK, SRC, SYK, and MK2.
 IT 685867-13-4P, 6-[[6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-5-nitropyridin-2-yl]amino]-1,2-dihydroindazol-3-one 685867-15-4P, 6-[[6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-3-nitropyridin-2-yl]amino]-1,2-dihydroindazol-3-one 685867-16-7P, 6-[[6-[(5-amino-6-[[5-cyclopropyl-1H-pyrazol-3-yl)amino]pyridin-2-yl]amino]-1,2-dihydroindazol-3-one
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (Preparation of indazolinone derivs. as kinase inhibitors for treating or lessening severity of diseases or conditions)
 RN 685867-13-4 CAPLUS
 CN 3H-Indazol-3-one, 6-[[6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-5-nitro-2-pyridinyl]amino]-1,2-dihydro- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

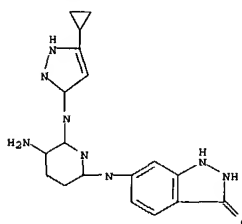


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 685867-15-6 CAPLUS
 CN 3H-Indazol-3-one, 6-[[6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-3-nitro-2-pyridinyl]amino]-1,2-dihydro- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 685867-16-7 CAPLUS
 CN 3H-Indazol-3-one, 6-[[5-amino-6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-2-pyridinyl]amino]-1,2-dihydro- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



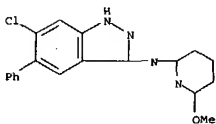
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L4 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2004:220319 CAPLUS
 DOCUMENT NUMBER: 140:253562
 TITLE: Preparation of aminoindazoles as protein Tau phosphorylation inhibitors, their drugs and pharmaceutical compositions containing them for treatment, in particular, of central and peripheral nervous system diseases
 INVENTOR(S): Lesauvage, Dominique; Dutruc-Rosset, Gilles; Halley, Franck; Babin, Didier; Rooney, Thomas
 PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.
 SOURCE: PCT Int. Appl., 71 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004022544	A1	20040318	WO 2003-FR2633	20030903
WO 2004022544	C1	20040422		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GM, GQ, GN, ML, MR, NE, SN, TD, TG			
FR 2844267	A1	20040312	FR 2002-10962	20020905
PRIORITY APPLN. INFO.:			FR 2002-10962	A 20020905
			US 2002-419965P	P 20021022

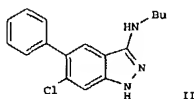
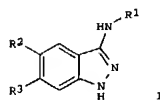
OTHER SOURCE(S): MARPAT 140:253562
 GI

L4 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 peripheral nervous system diseases)
 RN 670749-54-9 CAPLUS
 CN 1H-Indazol-3-amine, 6-chloro-N-(6-methoxy-2-pyridinyl)-5-phenyl- (9CI)
 (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L4 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



AB Title compds. I [wherein R1 = CONH2 and deriva., CO2H and deriva., SO2H and deriva., HC(NH) and deriva., C(NH)NH and deriva., (un)substituted aryl/heteroaryl/heterocyclo/cyclo/polycyclo/alkyl, hetero/aryl, fused aryl or heteroaryl, heterocyclyl, adamantyl, alkenyl, alkynyl; R2, R3 = independently halo, CN, NO2, NH2, OH, CO2H and deriva., NH2 and deriva., CONH2 and deriva., SH and deriva., SO2H and deriva., NMSO2H and deriva., CF3, OCF3, aryl/heteroaryl/cyclo/polycyclo/alkyl, alkoxy, hetero/aryl, heterocyclyl, alkenyl, alkynyl, adamantyl, etc.; and their racemates, enantiomers, diastereomers, mixts., tautomers and pharmaceutically acceptable salts] were prepared as protein Tau phosphorylation inhibitors.
 Three standard pharmaceutical compds. are given. For example, II was prepared, in 7 steps, by acylation of 3-amino-6-chloro-1H-indazole with butyryl chloride, protection with [2-(trimethylsilyl)ethoxy]methyl chloride, bromination, Pd-cross coupling of the bromide with phenylboronic acid, amide hydrolysis, reductive alkylation of the 3-aminoindazole intermediate and deprotection. Selected invention compds. I inhibited phosphorylation of protein Tau with an IC50 < 100 μM. Thus, I and their pharmaceutical compds. are useful as kinase inhibitors and for treatment, in particular, of central and peripheral nervous system diseases (no data).
 IT 670749-54-9P, (6-Chloro-5-phenyl-1H-indazol-3-yl)(6-methoxypyridin-2-yl)amine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (inhibitor of protein Tau phosphorylation; preparation of aminoindazoles as protein Tau phosphorylation inhibitors for treatment of central and

L4 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:736153 CAPLUS
 DOCUMENT NUMBER: 137:247690
 TITLE: Preparation of bisarylamines as potassium channel openers
 INVENTOR(S): McNaughton-Smith, Grant A.; Amato, George S.
 PATENT ASSIGNEE(S): Icaegen, Inc., USA
 SOURCE: PCT Int. Appl., 73 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

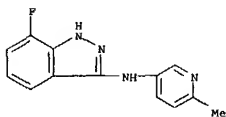
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002074388	A1	20020926	WO 2002-US7744	20020315
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2002193597	A1	20021219	US 2002-95617	20020311
US 6593349	B2	20030715		
GB 2396091	A1	20031231	GB 2003-23676	20020315
PRIORITY APPLN. INFO.:			US 2001-277329P	P 20010319
			US 2002-95617	A 20020311
			WO 2002-US7744	W 20020315

OTHER SOURCE(S): MARPAT 137:247690
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; ring A = (un)substituted aryl, 5-6 membered heteroaryl; ring C = H; II-III heteroaryl; Z = NR0, S, O, D = N, CR1; Y = halo, R2, OR2; R0-R2 = H, alkyl; X = NR3, O, S; R3 = H, SO2R4, alkyl, cycloalkyl; R4 = alkyl, cycloalkyl], useful in the treatment of diseases through the modulation of potassium ion flux through voltage-dependent potassium channels, were prepared. Thus, reacting benzoxazole IV with phenethylamine in DMSO afforded 57% V. Representative compds. I showed EC50 values from about 5 nM to about 10 μM in KCNQ potassium channel screening assay. More particularly, the invention provides bisarylamines, and methods that are useful in the treatment of central or peripheral nervous system disorders (e.g., migraine, ataxia, Parkinson's disease, bipolar disorders, trigeminal neuralgia, spasticity, mood disorders, brain tumors, psychotic disorders, myokymia, seizures, epilepsy, hearing and vision loss, Alzheimer's disease, age-related memory

L4 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 loss, learning deficiencies, anxiety and motor neuron diseases) and as
 neuroprotective agents (e.g., to prevent stroke and the like) by opening
 potassium channels assocd. with the onset or recurrence of the indicated
 conditions.
 IT 461043-70-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (Preparation of bisarylamines as potassium channel openers)
 RN 461043-70-9 CAPLUS
 CN 1H-Indazol-3-amine, 7-fluoro-N-(6-methyl-3-pyridinyl)- (9CI) (CA INDEX
 NAME)



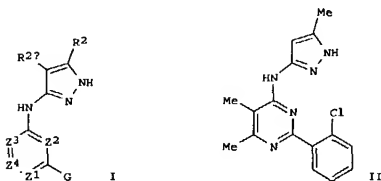
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 ACCESSION NUMBER: 2002:220584 CAPLUS
 DOCUMENT NUMBER: 136:247584
 TITLE: Preparation of pyrazolamines and analogs as protein
 kinase inhibitors for treatment of cancer, diabetes,
 and Alzheimer's disease
 INVENTOR(S): Bebbington, David; Knegetel, Ronald; Golec, Julian M.
 C.; Li, Ren; Davies, Robert; Charrier, Jean-Damien
 Vertex Pharmaceuticals Incorporated, USA
 PATENT ASSIGNMENT(S): PCT Int. Appl., 356 pp.
 SOURCE: CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 14
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022608	A1	20020321	WO 2001-US42152	20010914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LA, LS, LT, LU, LV, MA, MD, ME, MK, MN, MW, MX, MY, NZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RM: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
AU 2001096871	A5	20020326	AU 2001-96871	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6618926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 6660731	B2	20031209		
US 2003078166	A1	20030424	US 2001-955601	20010914
US 6696452	B2	20040224		
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
EP 1317452	A1	20030611	EP 2001-977779	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001701	A	20040301	ZA 2003-1701	20010914
ZA 2003001703	A	20040302	ZA 2003-1703	20010914
JP 2004509118	T2	20040325	JP 2002-528861	20010914
US 2004097501	A1	20040520	US 2001-953471	20010914
EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 526472	A	20040430	NZ 2001-526472	20011219
JP 2004518743	T2	20040624	JP 2002-565976	20011219
JP 2004519479	T2	20040702	JP 2002-567928	20011219

L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 ZA 2003001697 A 20040301 ZA 2003-1697 20030228
 ZA 2003001699 A 20040301 ZA 2003-1699 20030228
 ZA 2003001702 A 20040301 ZA 2003-1702 20030228
 ZA 2003001704 A 20040301 ZA 2003-1704 20030228
 ZA 2003001698 A 20040302 ZA 2003-1698 20030228
 NO 2003001188 A 20030513 NO 2003-1188 20030314
 NO 2003002704 A 20030821 NO 2003-2704 20030613
 US 2004116454 A1 20040617 US 2003-692355 20031023
 US 2004157893 A1 20040812 US 2003-722374 20031125
 US 2004132781 A1 20040708 US 2003-736426 20031215
 US 2004167141 A1 20040826 US 2004-775699 20040210
 PRIORITY APPLN. INFO.: US 2000-232795P P 20000915
 US 2000-257887P P 20001221
 US 2001-286949P P 20010427
 US 2001-955601 A3 20010914
 WO 2001-US42152 W 20010914
 US 2001-26966 A1 20011219
 WO 2001-US49139 W 20011219
 WO 2001-US50312 W 20011219
 US 2001-34019 A3 20011220
 US 2001-34683 A1 20011220

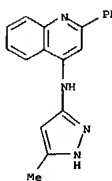
OTHER SOURCE(S): MARPAT 136:247584
 GI



AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted
 Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl;
 Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl,
 heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3
 = N or CRX; Z4 = N or CRy; Rx and Ry = independently TR3, or taken
 together with their intervening atoms form an (un)saturated fused ring
 having
 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a =

Habte

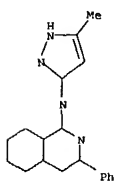
L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 (un)substituted fused ring contg. 0-3 heteroatoms: T = a bond or
 alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO,
 CR6OCOOR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6NNR6, CR6NO, C(R6)2NR6NR6,
 C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted
 aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR,
 CO2R, COCOR, COCH2COR, NO2, CN, SO2-2R, N(R4)2, CON(R4)2, SO2N(R4)2,
 OCOR,
 NR4COR, NR4CO2(aliph.), NR4N(R4)2, C=NN(R4)2, C=NOR, NR4CO(R4)2,
 NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.),
 CON(R7)2,
 or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 =
 independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl
 or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR,
 COR, CO2R, COCOR, etc.) were prep. as protein kinase inhibitors, esp. as
 inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer,
 diabetes, and Alzheimer's disease. Claims cover
 (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 = CR9; Z2 and
 Z3 = N; Z4 = CRy]. Examples include data for approx. 300 invention
 compds. prep. by a variety of synthetic methods and bioassay results for
 the inhibition of GSK-3 β , Aurora-2, ERK, and Src. For instance, the
 N-(4-pyrimidinyl)-3-pyrazolamine II was prep. and exhibited Ki values of
 < 0.1 μ M for glycogen synthetase kinase 3 β (GSK-3 β) and
 0.1-1.0 μ M for Aurora-2.
 IT 404826-24-0P 404826-25-1P, (5-Methyl-2H-pyrazol-3-yl) (3-
 phenyl)isoquinolin-1-yl)amine
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); RACT (Reactant or reagent); USES (Uses)
 (protein kinase inhibitor; preparation of heterocyclylpyrazolamines
 and
 analogs as protein kinase inhibitors for treatment of cancer,
 diabetes,
 and Alzheimer's disease)
 RN 404826-24-0 CAPLUS
 CN 4-Quinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX
 NAME)



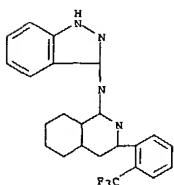
RN 404826-25-1 CAPLUS
 CN 1-Isoquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA
 INDEX NAME)

10/05/2004

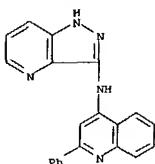
L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



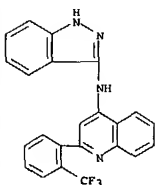
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 IT 404829-63-6P, (1H-Indazol-3-yl)[3-(2-trifluoromethylphenyl)isoquinoline-1-yl]amine 404829-65-8P, (5,7-Difluoro-1H-indazol-3-yl)[3-(2-trifluoromethylphenyl)isoquinolin-1-yl]amine 404829-66-9P, (1H-indazol-3-yl)(2-phenylquinolin-4-yl)amine 404829-67-0P, (2-Phenylquinolin-4-yl)(1H-pyrazolo[4,3-b]pyridin-3-yl)amine 404829-68-1P, (1H-Indazol-3-yl)[2-(2-trifluoromethylphenyl)quinolin-4-yl]amine 404829-69-2P, (5,7-Difluoro-1H-indazol-3-yl)[2-(2-trifluoromethylphenyl)quinolin-4-yl]amine 404829-70-5P, [2-(2-Trifluoromethylphenyl)quinolin-4-yl](1H-pyrazolo[4,3-b]pyridin-3-yl)amine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
 RN 404829-63-6 CAPLUS
 CN 1-Isoquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



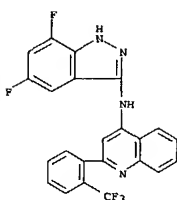
L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 404829-68-1 CAPLUS
 CN 4-Quinolinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 404829-69-2 CAPLUS
 CN 4-Quinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

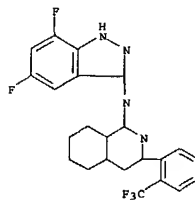


RN 404829-70-5 CAPLUS
 CN 4-Quinolinamine, N-1H-pyrazolo[4,3-b]pyridin-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

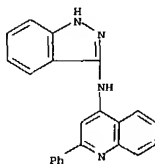
Habe

L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 404829-65-8 CAPLUS
 CN 1-Isoquinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

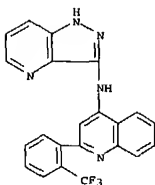


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 404829-66-9 CAPLUS
 CN 4-Quinolinamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)



RN 404829-67-0 CAPLUS
 CN 4-Quinolinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

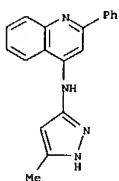
10/05/2004

L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN
 ACCESSION NUMBER: 2002:220583 CAPLUS
 DOCUMENT NUMBER: 136:247583
 TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
 INVENTOR(S): Davies, Robert; Bebbington, David; Knegetl, Ronald; Wannamaker, Marion; Li, Pan; Forester, Cornelia; Pierce, Albert; Kay, David
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 373 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 14
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022607	A1	20020321	WO 2001-US28940	20010914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SI, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001091013	A5	20020326	AU 2001-91013	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 6660731	B2	20031209		
US 2003078166	A1	20030424	US 2001-955601	20010914
US 6696452	B2	20040224		
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
BR 2001014088	A1	20030617	BR 2001-14088	20010914
EP 1318997	A1	20030610	EP 2001-971082	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001701	A	20040301	ZA 2003-1701	20010914
ZA 2003001703	A	20040302	ZA 2003-1703	20010914
JP 20040509117	T2	20040325	JP 2002-526860	20010914
US 2004097501	A1	20040520	US 2001-953471	20010914
EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 526472	A	20040430	NZ 2001-526472	20011219

L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)
 Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CR9; Z4 = N or CR9; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)satd. fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TW6; or C2R2R2a = (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCOR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.) were prepd. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CR9; Z4 = CR9; G = Ring C]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the inhibition of GSK-3 β , Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited

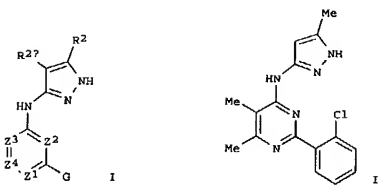
IT 404826-24-0P 404826-25-1P, (5-Methyl-2H-pyrazol-3-yl)-[3-phenylisoquinolin-1-yl]amine
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)



Habe

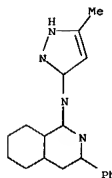
L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)
 JP 2004518743 T2 20040624 JP 2002-565976 20011219
 JP 2004519479 T2 20040702 JP 2002-567928 20011219
 ZA 2003001697 A 20040301 ZA 2003-1697 20030228
 ZA 2003001699 A 20040301 ZA 2003-1699 20030228
 ZA 2003001702 A 20040301 ZA 2003-1702 20030228
 ZA 2003001704 A 20040301 ZA 2003-1704 20030228
 ZA 2003001698 A 20040302 ZA 2003-1698 20030228
 NO 2003001191 A 20030513 NO 2003-1191 20030314
 NO 2003002704 A 20030821 NO 2003-2704 20030613
 US 2004116454 A1 20040617 US 2003-692355 20031023
 US 2004117893 A1 20040812 US 2003-722374 20031125
 US 2004132781 A1 20040708 US 2003-736426 20031215
 US 2004167141 A1 20040826 US 2004-775699 20040210
 PRIORITY APPLN. INFO.: US 2000-232795P P 20000915

OTHER SOURCE(S): MARPAT 136:247583
 GI

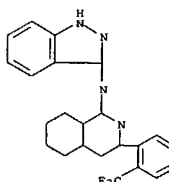


AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl;

L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)
 RN 404826-25-1 CAPLUS
 CN 1-isoquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 IT 404829-63-6P, (1H-Indazol-3-yl)-[3-(2-trifluoromethylphenyl)isoquinoline-1-yl]amine 404829-65-8P, (5,7-Difluoro-1H-indazol-3-yl)-[3-(2-trifluoromethylphenyl)isoquinolin-1-yl]amine 404829-66-9P, (1H-Indazol-3-yl)-[2-phenylquinolin-4-yl]amine 404829-67-0P, (2-Phenylquinolin-4-yl)-(1H-pyrazolo[4,3-b]pyridin-3-yl)amine 404829-68-1P, (1H-Indazol-3-yl)-[2-(2-trifluoromethylphenyl)quinolin-4-yl]amine 404829-69-2P, (5,7-Difluoro-1H-indazol-3-yl)-[2-(2-trifluoromethylphenyl)quinolin-4-yl]amine 404829-70-5P, [2-(2-Trifluoromethylphenyl)quinolin-4-yl]-(1H-pyrazolo[4,3-b]pyridin-3-yl)amine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
 RN 404829-63-6 CAPLUS
 CN 1-isoquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



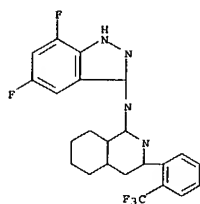
10/05/2004

L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-65-8 CAPLUS

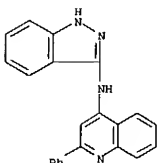
CN 1-Isoquinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-66-9 CAPLUS

CN 4-Quinolinamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)



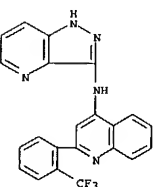
RN 404829-67-0 CAPLUS

CN 4-Quinolinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 404829-70-5 CAPLUS

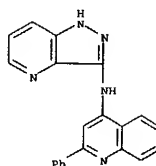
CN 4-Quinolinamine, N-1H-pyrazolo[4,3-b]pyridin-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS

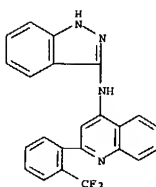
FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



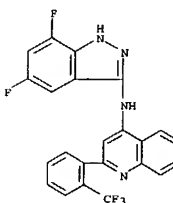
RN 404829-68-1 CAPLUS

CN 4-Quinolinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 404829-69-2 CAPLUS

CN 4-Quinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:220582 CAPLUS

DOCUMENT NUMBER: 136:247582

TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease

INVENTOR(S): Bebbington, David; Binch, Hayley; Knegt, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Forster, Cornelis; Pierce, Albert

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 355 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022606	A1	20020321	WO 2001-US28803	20010914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001090944	A5	20020326	AU 2001-90944	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6618926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 6660731	B2	20031209		
US 2003078166	A1	20030424	US 2001-955601	20010914
US 6696452	B2	20040224		
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
EP 1317448	A1	20030611	EP 2001-971006	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001701	A	20040301	ZA 2003-1701	20010914
ZA 2003001703	A	20040302	ZA 2003-1703	20010914
JP 2004509116	T2	20040325	JP 2002-526659	20010914
US 2004097501	A1	20040520	US 2001-953471	20010914
EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 526472	A	20040430	NZ 2001-526472	20011219
JP 2004518743	T2	20040624	JP 2002-565976	20011219
JP 2004519479	T2	20040702	JP 2002-567928	20011219
ZA 2003001697	A	20040301	ZA 2003-1697	20030228
ZA 2003001699	A	20040301	ZA 2003-1699	20030228

L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ZA 2003001702	A	20040301	ZA 2003-1702	20030228
ZA 2003001704	A	20040301	ZA 2003-1704	20030228
ZA 2003001698	A	20040302	ZA 2003-1698	20030228
NO 2003001189	A	20030513	NO 2003-1189	20030314
NO 2003002704	A	20030821	NO 2003-2704	20030613
US 2004116454	A1	20040617	US 2003-692355	20031023
US 20041157893	A1	20040812	US 2003-722374	20031125
US 2004132781	A1	20040708	US 2003-736426	20031215
US 2004167141	A1	20040826	US 2004-775699	20040210

PRIORITY APPLN. INFO.: US 2000-232795P P 20000915

US 2000-257887P P 20001221

US 2001-286949P P 20010427

US 2001-955601 A3 20010914

WO 2001-US28803 W 20010914

US 2001-26966 A1 20011219

WO 2001-US49139 W 20011219

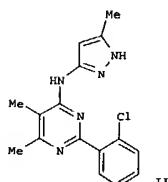
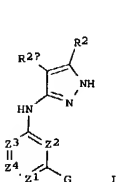
WO 2001-US50312 W 20011219

US 2001-34019 A3 20011220

US 2001-34683 A1 20011220

OTHER SOURCE(S):
GI

MAPPAT 136:247582



AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl, Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having

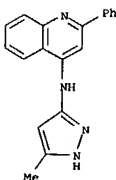
L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6CONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CRx; Z4 = CRy; G = Ring D]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the inhibition of GSK-3 β , Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of < 0.1 μ M for glycogen synthetase kinase 3 β (GSK-3 β) and 0.1-1.0 μ M for Aurora-2.

IT 404826-24-0P 404826-25-1P, [5-Methyl-2H-pyrazol-3-yl] (3-phenyl)isoquinolin-1-ylamine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404826-24-0 CAPLUS

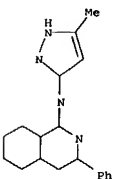
CN 4-Quinolamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 404826-25-1 CAPLUS

CN 1-Isoquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA INDEX NAME)



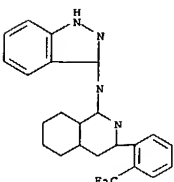
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

IT 404829-63-6P, (1H-Indazol-3-yl) [3-(2-trifluoromethylphenyl)isoquinoline-1-yl]amine 404829-65-8P, (5,7-Difluoro-1H-indazol-3-yl) [3-(2-trifluoromethylphenyl)isoquinolin-1-yl]amine 404829-66-9P, (1H-Indazol-3-yl) (2-phenylquinolin-4-yl)amine 404829-67-0P, (2-Phenylquinolin-4-yl) (1H-pyrazolo[4,3-b]pyridin-3-yl)amine 404829-68-1P, (1H-Indazol-3-yl) [2-(2-trifluoromethylphenyl)quinolin-4-yl]amine 404829-69-2P, (5,7-Difluoro-1H-indazol-3-yl) [2-(2-trifluoromethylphenyl)quinolin-4-yl]amine 404829-70-5P, [2-(2-Trifluoromethylphenyl)quinolin-4-yl] (1H-pyrazolo[4,3-b]pyridin-3-yl)amine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404829-63-6 CAPLUS

CN 1-Isoquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

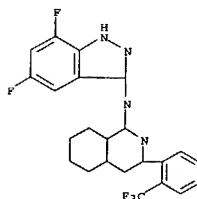


L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-65-8 CAPLUS

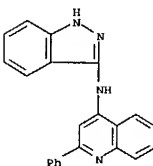
CN 1-Isoquinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-66-9 CAPLUS

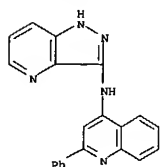
CN 4-Quinolamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)



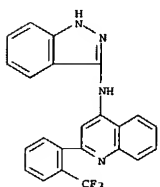
RN 404829-67-0 CAPLUS

CN 4-Quinolamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl- (9CI) (CA INDEX NAME)

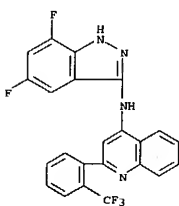
L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



RN 404829-68-1 CAPLUS
CN 4-Quinololinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI)
(CA INDEX NAME)



RN 404829-69-2 CAPLUS
CN 4-Quinololinamine, N-(5,7-difluoro-1H-indazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



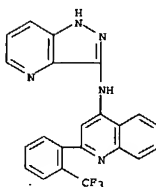
L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN

ACCESSION NUMBER: 2002:220581 CAPLUS
DOCUMENT NUMBER: 136:247581
TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Golec, Julian M. C.; Charrier, Jean-Damien; Knegetel, Ronald; Bebbington, David; Davies, Robert; Li, Pan
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 357 pp.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022605	A1	20020321	WO 2001-US28793	20010914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001092670	A5	20020326	AU 2001-92670	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 6660731	B2	20031209		
US 2003078166	A1	20030424	US 2001-955601	20010914
US 6696452	B2	20040224		
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
EP 1317449	A1	20030611	EP 2001-973050	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001701	A	20040301	ZA 2003-1701	20010914
ZA 2003001701	A	20040302	ZA 2003-1703	20010914
JP 2004509115	T2	20040325	JP 2002-526858	20010914
US 2004097501	A1	20040520	US 2001-953471	20010914
EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 526472	A	20040430	NZ 2001-526472	20011219
JP 2004518743	T2	20040624	JP 2002-565976	20011219
JP 2004519479	T2	20040702	JP 2002-567928	20011219
ZA 2003001697	A	20040301	ZA 2003-1697	20030228
ZA 2003001699	A	20040301	ZA 2003-1699	20030228
ZA 2003001702	A	20040301	ZA 2003-1702	20030228
ZA 2003001704	A	20040301	ZA 2003-1704	20030228

L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

RN 404829-70-5 CAPLUS
CN 4-Quinololinamine, N-1H-pyrazolo[4,3-b]pyridin-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



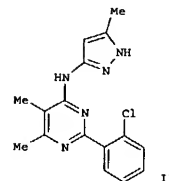
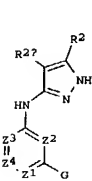
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

ZA 2003001698	A	20040302	ZA 2003-1698	20030228
NO 2003002704	A	20030821	NO 2003-2704	20030613
US 2004116454	A1	20040617	US 2003-692355	20031023
US 2004157893	A1	20040812	US 2003-722374	20031125
US 2004132781	A1	20040708	US 2003-736426	20031215
US 2004167141	A1	20040826	US 2004-775699	20040210
PRIORITY APPL. INFO.:			US 2000-232795P	P 20000915

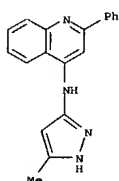
US 2000-257887P P 20001221
US 2001-286949P P 20010427
US 2001-955601 A3 20010914
WO 2001-US28793 W 20010914
US 2001-26966 A1 20011219
WO 2001-US49139 W 20011219
WO 2001-US50312 W 20011219
US 2001-34019 A3 20011220
US 2001-34683 A1 20011220

OTHER SOURCE(S): MARPAT 136:247581
GI



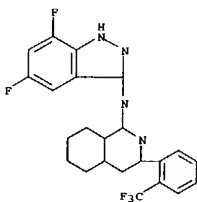
AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR; Z2 = N or CH; Z3 = N or CR; Z4 = N or CR; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6CONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6NR6, CR6NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR,

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 CO2R, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2,
 OCOR,
 NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2,
 NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.),
 CON(R7)2,
 or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 =
 independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl
 or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR,
 COR, CO2R, COCOR, etc.) were prepd. as protein kinase inhibitors, esp. as
 inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer,
 diabetes, and Alzheimer's disease. Claims cover pyrazolamines and
 indazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 =
 N; at least one of Z1 or Z3 = N]. Examples include data for approx. 300
 invention compds. prepd. by a variety of synthetic methods and bioassay
 results for the inhibition of GSK-3 β , Aurora-2, ERK, and Src. For
 instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and
 exhibited
 Ki values of < 0.1 μ M for glycogen synthetase kinase 3 β
 (GSK-3 β) and 0.1-1.0 μ M for Aurora-2.
 IT 404826-24-OP 404826-25-1P, (5-Methyl-2H-pyrazol-3-yl)(3-
 phenylisoquinolin-1-yl)amine
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); RACT (Reactant or reagent); USES (Uses)
 (protein kinase inhibitor; preparation of heterocyclpyrazolamines
 and
 analogs as protein kinase inhibitors for treatment of cancer,
 diabetes,
 and Alzheimer's disease)
 RN 404826-24-0 CAPLUS
 CN 4-Quinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX
 NAME)

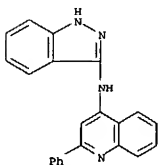


RN 404826-25-1 CAPLUS
 CN 1-Isquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA
 INDEX NAME)

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 404829-65-8 CAPLUS
 CN 1-Isquinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-3-[2-
 (trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

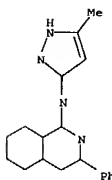


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 404829-66-9 CAPLUS
 CN 4-Quinolinamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)

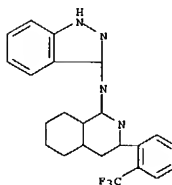


RN 404829-67-0 CAPLUS
 CN 4-Quinolinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl- (9CI) (CA
 INDEX NAME)

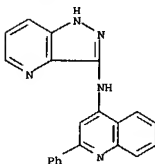
L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



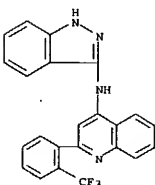
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 IT 404829-63-6P, (1H-Indazol-3-yl)[3-(2-trifluoromethylphenyl)isoquin-
 oline-1-yl]amine 404829-65-8P, (5,7-Difluoro-1H-indazol-3-yl)[3-
 (2-trifluoromethylphenyl)isoquinolin-1-yl]amine 404829-66-9P,
 (1H-Indazol-3-yl)(2-phenylquinolin-4-yl)amine 404829-67-0P,
 (2-Phenylquinolin-4-yl)(1H-pyrazolo[4,3-b]pyridin-3-yl)amine
 404829-68-1P, (1H-Indazol-3-yl)[2-(2-trifluoromethylphenyl)quinoli-
 n-4-yl]amine 404829-69-2P, (5,7-Difluoro-1H-indazol-3-yl)[2-(2-
 trifluoromethylphenyl)quinolin-4-yl]amine 404829-70-5P,
 [2-(2-Trifluoromethylphenyl)quinolin-4-yl](1H-pyrazolo[4,3-b]pyridin-3-
 yl)amine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (protein kinase inhibitor; preparation of heterocyclpyrazolamines
 and
 analogs as protein kinase inhibitors for treatment of cancer,
 diabetes,
 and Alzheimer's disease)
 RN 404829-63-6 CAPLUS
 CN 1-Isquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]-
 (9CI)
 (CA INDEX NAME)



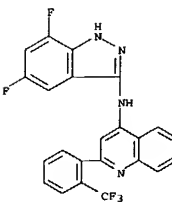
L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 404829-68-1 CAPLUS
 CN 4-Quinolinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI)
 (CA INDEX NAME)

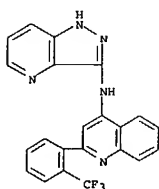


RN 404829-69-2 CAPLUS
 CN 4-Quinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-2-[2-
 (trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 404829-70-5 CAPLUS
 CN 4-Quinolinamine, N-1H-pyrazolo[4,3-b]pyridin-3-yl-2-[2-
 (trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN

ACCESSION NUMBER: 2002:220580 CAPLUS
DOCUMENT NUMBER: 136:247606
TITLE: Preparation of 3-(4-pyrimidinylamino)pyrazole derivatives as protein kinase inhibitors, especially of Aurora-2 and GSK-3, for treating cancer, diabetes and Alzheimer's disease.
INVENTOR(S): Davies, Robert; Bebbington, David; Binch, Haley; Knechtel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 357 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

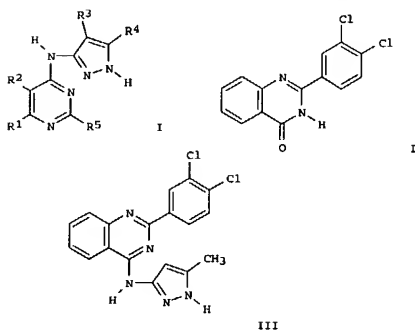
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022604	A1	20020321	WO 2001-US28792	20010914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MM, MG, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TO				
AU 2001094558	A5	20020326	AU 2001-94558	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 6660731	B2	20031209		
US 2003078166	A1	20030424	US 2001-955601	20010914
US 6696452	B2	20040224		
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
EP 1317450	A1	20030611	EP 2001-975210	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001701	A	20040301	ZA 2003-1701	20010914
ZA 2003001703	A	20040302	ZA 2003-1703	20010914
JP 2004512277	T2	20040422	JP 2002-526857	20010914
US 2004097501	A1	20040520	US 2001-953471	20010914
EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 526472	A	20040430	NZ 2001-526472	20011219

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

JP 2004518743	T2	20040624	JP 2002-565976	20011219
JP 2004519479	T2	20040702	JP 2002-567928	20011219
ZA 2003001697	A	20040301	ZA 2003-1697	20030228
ZA 2003001699	A	20040301	ZA 2003-1699	20030228
ZA 2003001702	A	20040301	ZA 2003-1702	20030228
ZA 2003001704	A	20040301	ZA 2003-1704	20030228
ZA 2003001698	A	20040302	ZA 2003-1698	20030228
NO 2003001190	A	20030513	NO 2003-1190	20030314
NO 2003002704	A	20030821	NO 2003-2704	20030613
US 2004116454	A1	20040617	US 2003-692355	20031023
US 2004157893	A1	20040812	US 2003-722374	20031125
US 2004132781	A1	20040708	US 2003-736426	20031215
US 2004167141	A1	20040826	US 2004-775699	20040210
PRIORITY APPLN. INFO.:			US 2000-232795P	P 20000915
			US 2000-257887P	P 20001221
			US 2001-286949P	P 20010427
			US 2001-955601	A3 20010914
			WO 2001-US28792	W 20010914
			US 2001-26966	A1 20011219
			WO 2001-US49139	W 20011219
			WO 2001-US50312	W 20011219
			US 2001-34019	A3 20011220
			US 2001-34683	A1 20011220

OTHER SOURCE(S): MARPAT 136:247606
GI

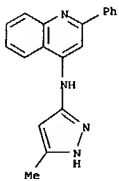
L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



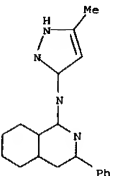
AB The preparation of title compds. I and their pharmaceutically acceptable salts or prodrugs is described [wherein: R1, R2 = independently form (un)substituted fused, unsatd. or partially unsatd., 5-8 membered carbocyclic ring; R3, R4 = independently H, aliphatic, aryl, heteroaryl, heterocyclyl, or wide variety of functionalized sidechains; or dependently form a fused, 5-8 membered, unsatd. or partially unsatd. ring having 0-3 ring heteroatoms (N, S, O); R5 = fused, (un)substituted 5-7 membered monocyclic ring or 8-10 membered bicyclic ring (aryl, heteroaryl, heterocyclyl or carbocyclyl, said heteroaryl or heterocyclyl ring having 1-4 ring heteroatoms (N, S, O))]. For example, chlorination of quinaldine II with phosphorus oxychloride, followed by condensation with 3-amino-5-methylpyrazole afforded claimed compound III. Compds. I are inhibitors of GSK-3 and Aurora-2 protein kinases. The invention also relates to methods of treating diseases associated with these protein kinases, such as diabetes, cancer and Alzheimer's disease. In bioassays, compds. I inhibited the following kinases with Ki's reported < 100 nM: GSK-3B (163 compds.), AURORA-2 (65 compds.), CDK-2 (no data), ERK2 (8 compds.), AKT (no data), and Human Src kinase (21 compds.). Claims included 146 specific compds., and 188 examples were given. The syntheses of 6 compds. and 46 intermediates are described.

IT 404826-24-OP 404826-25-1P 404829-63-6P
404829-65-8P 404829-66-9P 404829-67-OP
404829-68-1P 404829-69-2P 404829-70-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-(4-pyrimidinylamino)pyrazole compds. as protein kinase inhibitors)

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 RN 404826-24-0 CAPLUS
 CN 4-Quinololinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)

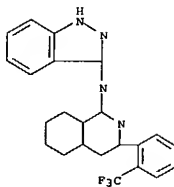


RN 404826-25-1 CAPLUS
 CN 1-Isoquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA INDEX NAME)

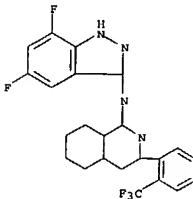


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 404829-63-6 CAPLUS
 CN 1-Isoquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

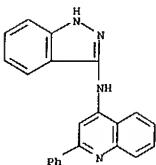


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 404829-65-8 CAPLUS
 CN 1-Isoquinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

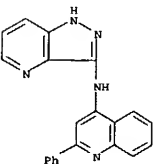


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 404829-66-9 CAPLUS
 CN 4-Quinololinamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)

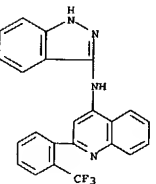
L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 404829-67-0 CAPLUS
 CN 4-Quinololinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl- (9CI) (CA INDEX NAME)

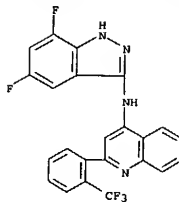


RN 404829-68-1 CAPLUS
 CN 4-Quinololinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

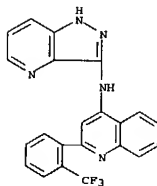


RN 404829-69-2 CAPLUS
 CN 4-Quinololinamine, N-(5,7-difluoro-1H-indazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 404829-70-5 CAPLUS
 CN 4-Quinololinamine, N-1H-pyrazolo[4,3-b]pyridin-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

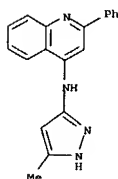


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:220579 CAPLUS
 DOCUMENT NUMBER: 136:247580
 TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
 INVENTOR(S): Davies, Robert; Li, Pan; Golec, Julian; Bebbington, David
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 406 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 14
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022603	A1	20020321	WO 2001-US28738	20010914
W: AE, AG, AI, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, CH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001090912	A5	20020326	AU 2001-90912	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 6660731	B2	20031209		
US 2003078166	A1	20030424	US 2001-955601	20010914
US 6696452	B2	20040224		
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030626		
EP 1317447	A1	20030611	EP 2001-970969	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001701	A	20040301	ZA 2003-1701	20010914
ZA 2003001703	A	20040302	ZA 2003-1703	20010914
US 2004097501	A1	20040520	US 2001-953471	20010914
JP 2004525075	T2	20040819	JP 2002-526856	20010914
EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 526472	A	20040430		20011219
JP 2004518743	T2	20040624	JP 2002-565976	20011219
JP 2004519479	T2	20040702	JP 2002-567928	20011219

L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 together with their intervening atoms form an (un)satd. fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring confg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCOR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6NNR6, CR6NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCOR(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (triazinyl)pyrazolamines and indazolamines I [wherein Z1, Z2, and Z3 = N; Z4 = CRyl. Examples include data for approx. 300 invention compds prepd. by a variety of synthetic methods and bioassay results for the inhibition of GSK-3 β , Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of < 0.1 μ M for glycogen synthetase kinase 3 β (GSK-3 β) and 0.1-1.0 μ M for Aurora-2.
 IT 404826-24-0P 404826-25-1P, (5-Methyl-2H-pyrazol-3-yl) (3-phenyl)isoquinolin-1-ylamine
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
 RN 404826-24-0 CAPLUS
 CN 4-Quinolamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)

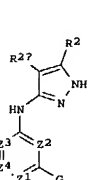


RN 404826-25-1 CAPLUS
 CN 1-Isoquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA INDEX NAME)

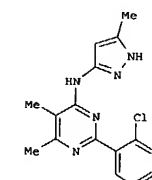
Hasbe

L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 ZA 2003001697 A 20040301 ZA 2003-1697 20030228
 ZA 2003001699 A 20040301 ZA 2003-1699 20030228
 ZA 2003001702 A 20040301 ZA 2003-1702 20030228
 ZA 2003001704 A 20040301 ZA 2003-1704 20030228
 ZA 2003001698 A 20040302 ZA 2003-1698 20030228
 NO 2003002704 A 20030821 NO 2003-2704 20030613
 US 2004116454 A1 20040617 US 2003-692355 20031023
 US 2004157893 A1 20040812 US 2003-722374 20031125
 US 2004132781 A1 20040708 US 2003-736426 20031215
 US 2004167141 A1 20040826 US 2004-775699 20040210
 PRIORITY APPLN. INFO.: US 2000-232795P P 20000915
 US 2000-257887P P 20001221
 US 2001-286949P P 20010427
 US 2001-955601 A3 20010914
 WO 2001-US28738 W 20010914
 US 2001-26966 A1 20011219
 WO 2001-US49139 W 20011219
 WO 2001-US50312 W 20011219
 US 2001-34019 A3 20011220
 US 2001-34683 A1 20011220

OTHER SOURCE(S): MARPAT 136:247580
 GI



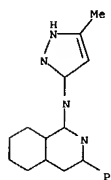
I



II

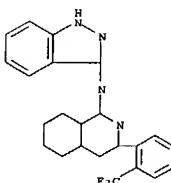
AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken

L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 IT 404829-63-6P, (1H-Indazol-3-yl) [3-(2-(trifluoromethyl)phenyl)isoquinoline-1-yl]amine 404829-65-8P, (5,7-Difluoro-1H-indazol-3-yl) [3-(2-(trifluoromethyl)phenyl)isoquinolin-1-yl]amine 404829-66-9P, (1H-Indazol-3-yl) [2-phenylquinolin-4-yl]amine 404829-67-0P, (2-Phenylquinolin-4-yl) (1H-pyrazolo[4,3-b]pyridin-3-yl)amine 404829-68-1P, (1H-Indazol-3-yl) [2-(2-(trifluoromethyl)phenyl)quinolin-4-yl]amine 404829-69-2P, (5,7-Difluoro-1H-indazol-3-yl) [2-(2-(trifluoromethyl)phenyl)quinolin-4-yl]amine 404829-70-5P, [2-(2-(Trifluoromethyl)phenyl)quinolin-4-yl] (1H-pyrazolo[4,3-b]pyridin-3-yl)amine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

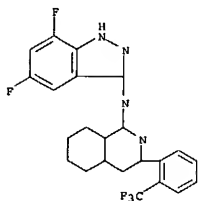
RN 404829-63-6 CAPLUS
 CN 1-Isoquinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



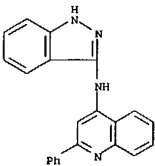
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 404829-65-8 CAPLUS
 CN 1-Isoquinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-3-[2-

10/05/2004

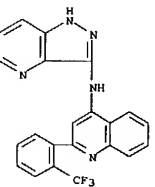
L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-66-9 CAPLUS
CN 4-Quinololinamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)



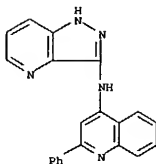
RN 404829-67-0 CAPLUS
CN 4-Quinololinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl- (9CI) (CA INDEX NAME)



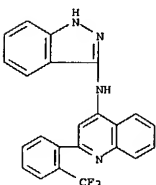
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

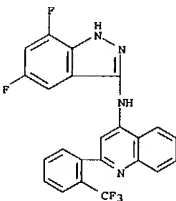
L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 404829-68-1 CAPLUS
CN 4-Quinololinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 404829-69-2 CAPLUS
CN 4-Quinololinamine, N-(5,7-difluoro-1H-indazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



own work

L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 404829-70-5 CAPLUS
CN 4-Quinololinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:220578 CAPLUS
DOCUMENT NUMBER: 136:263164
TITLE: Preparation of triazolamines as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Behbington, David; Knegt, Ronald; Binch, Haley; Golec, Julian M. C.; Li, Pan; Charrier, Jean-Damien
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 377 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022602	A2	20020321	WO 2001-US42162	20010914
WO 2002022602	A3	20020627		
W: AU, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001096875	A5	20020326	AU 2001-96875	20010914
US 2003055044	A1	20030329	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 6660731	B2	20031209		
US 2003078166	A1	20030424	US 2001-955601	20010914
US 6696452	B2	20040224		
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
EP 1318814	A2	20030618	EP 2001-977783	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001701	A	20040301	ZA 2003-1701	20010914
ZA 2003001703	A	20040302	ZA 2003-1703	20010914
JP 200409114	T2	20040325	JP 2002-526855	20010914
US 2004097501	A1	20040520	US 2001-953471	20010914
EP 1345922	A1	20030524	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 526472	A	20040430	NZ 2001-526472	20011219
JP 2004518743	T2	20040624	JP 2002-565976	20011219
JP 2004519479	T2	20040702	JP 2002-567928	20011219
ZA 2003001697	A	20040301	ZA 2003-1697	20030228
ZA 2003001699	A	20040301	ZA 2003-1699	20030228
ZA 2003001702	A	20040301	ZA 2003-1702	20030228

Habte

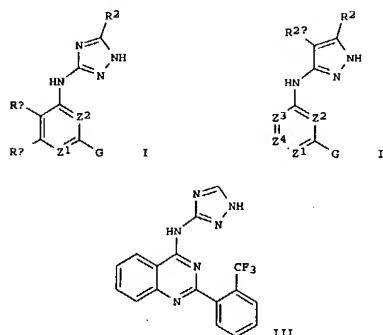
10/05/2004

L4	ANSWER 10 OF 13	CAPLUS	COPYRIGHT 2004 ACS on STN	(Continued)
ZA	2003001704	A	20040301	ZA 2003-1704 20030228
ZA	2003001698	A	20040302	ZA 2003-1698 20030228
NO	2003002704	A	20030821	NO 2003-2704 20030613
US	2004116454	A1	20040617	US 2003-692355 20031023
US	2004157893	A1	20040812	US 2003-722374 20031125
US	2004132781	A1	20040708	US 2003-736426 20031215
US	2004167141	A1	20040826	US 2004-775699 20040210
PRIORITY APPLN. INFO.:				US 2000-232795P P 20000915
				US 2000-257887P P 20001221
				US 2001-286949P P 20010427
				US 2001-955601 A3 20010914
				WO 2001-US42162 W 20010914
				US 2001-26966 A1 20011219
				WO 2001-US49139 W 20011219
				WO 2001-US50312 W 20011219
				US 2001-34019 A3 20011220
				US 2001-34683 A1 20011220

OTHER SOURCE(S):
GI

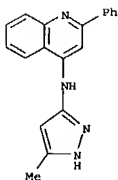
MARPAT 136:263164

L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

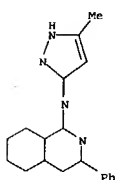


AB Triazolamines I and pyrazolamines II (wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6CONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover

L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(heterocyclyl)triazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; R9 is defined above]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the inhibition of GSK-3 β , Aurora-2, ERK, and Src. For instance, the N-(4-quinazolinyl)-1H-1,2,4-triazol-3-amine III was prepd. and exhibited Ki values of < 0.1 μ M for glycogen synthetase kinase 3 β (GSK-3 β) and 1.0-20 μ M for Aurora-2.
IT 404826-24-OP 404826-25-1P, (5-Methyl-2H-pyrazol-3-yl) (3-phenylisoquinolin-1-yl)amine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(protein kinase inhibitor; preparation of triazolamines, pyrazolamines, and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404826-24-0 CAPLUS
CN 4-Quinolamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)



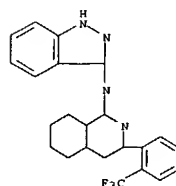
RN 404826-25-1 CAPLUS
CN 1-Isoquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA INDEX NAME)



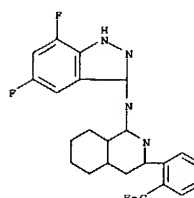
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
IT 404829-63-6P, (1H-Indazol-3-yl) [3-(2-trifluoromethylphenyl)]isoquin

Hahte

L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
oline-1-yl)amine 404829-65-8P, (5,7-Difluoro-1H-indazol-3-yl) [3-(2-trifluoromethylphenyl)]isoquinolin-1-yl)amine 404829-66-9P, (1H-Indazol-3-yl) (2-phenylquinolin-4-yl)amine 404829-67-0P, (2-Phenylquinolin-4-yl) (1H-pyrazolo[4,3-b]pyridin-3-yl)amine 404829-68-1P, (1H-Indazol-3-yl) [2-(2-trifluoromethylphenyl)]isoquinolin-4-yl)amine 404829-69-2P, (5,7-Difluoro-1H-indazol-3-yl) [2-(2-trifluoromethylphenyl)]isoquinolin-4-yl)amine 404829-70-5P, [2-(2-Trifluoromethylphenyl)]isoquinolin-4-yl) (1H-pyrazolo[4,3-b]pyridin-3-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; prepa. of triazolamines, pyrazolamines, and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404829-63-6 CAPLUS
CN 1-Isoquinolinamine, N-(1H-indazol-3-yl)-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

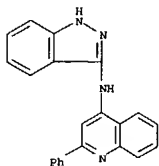


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-65-8 CAPLUS
CN 1-Isoquinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

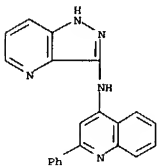


10/05/2004

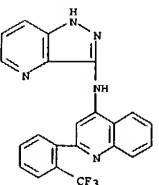
L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)
 ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 404829-66-9 CAPLUS
 CN 4-Quinolinamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)



RN 404829-67-0 CAPLUS
 CN 4-Quinolinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl- (9CI) (CA INDEX NAME)

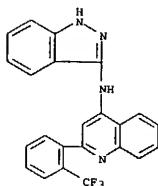


RN 404829-68-1 CAPLUS
 CN 4-Quinolinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

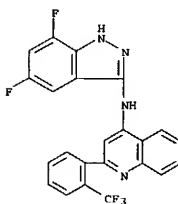


L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



RN 404829-69-2 CAPLUS
 CN 4-Quinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 404829-70-5 CAPLUS
 CN 4-Quinolinamine, N-1H-pyrazolo[4,3-b]pyridin-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

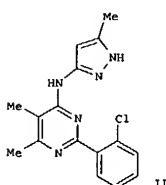
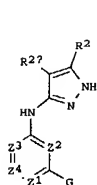
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS ON STN

ACCESSION NUMBER: 2002:220577 CAPLUS
 DOCUMENT NUMBER: 136:247579
 TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
 INVENTOR(S): Knegetel, Ronald; Sebbington, David; Binch, Hayley; Golec, Julian; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Forster, Cornelia; Pierce, Albert
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 376 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 14
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022601	A1	20020321	WO 2001-US28740	20010914
R: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001090914	A5	20020326	AU 2001-90914	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 6660731	B2	20031209		
US 2003078166	A1	20030424	US 2001-955601	20010914
US 6696452	B2	20040224		
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
EP 1317444	A1	20030611	EP 2001-970971	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001701	A	20040301	ZA 2003-1701	20010914
ZA 2003001703	A	20040302	ZA 2003-1703	20010914
JP 20040509113	T2	20040325	JP 2002-526854	20010914
US 2004097501	A1	20040520	US 2001-953471	20010914
EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 526472	A	20040430	NZ 2001-526472	20011219
JP 2004518743	T2	20040624	JP 2002-565976	20011219
JP 2004519479	T2	20040702	JP 2002-567928	20011219
ZA 2003001697	A	20040301	ZA 2003-1697	20030228
ZA 2003001699	A	20040301	ZA 2003-1699	20030228

L4	ANSWER 11 OF 13	CAPLUS	COPYRIGHT 2004 ACS on STN		(Continued)
ZA	2003001702	A	20040301	ZA 2003-1702	20030228
ZA	2003001704	A	20040301	ZA 2003-1704	20030228
ZA	2003001698	A	20040302	ZA 2003-1698	20030228
NO	2003002704	A	20030821	NO 2003-2704	20030613
US	2004116454	A1	20040617	US 2003-692355	20031023
US	2004157893	A1	20040812	US 2003-722374	20031125
US	2004132781	A1	20040708	US 2003-736426	20031215
US	2004167141	A1	20040826	US 2004-755699	20040210
PRIORITY APPLN. INFO.:			US 2000-232795P	P	20000915
			US 2000-257887P	P	20001221
			US 2001-286949P	P	20010427
			US 2001-955601	A3	20010914
			WO 2001-US28740	W	20010914
			US 2001-26966	A1	20011219
			WO 2001-US49139	W	20011219
			WO 2001-US50312	W	20011219
			US 2001-34019	A3	20011220
			US 2001-34683	A1	20011220

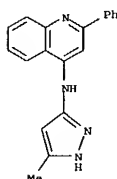
OTHER SOURCE(S): MARPAT 136:247579
GI



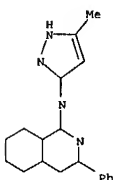
AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6CONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrimidinyl- and pyridinyl- pyrazolamines and indazolamines I [wherein Z1 = N, CRa, or CH; Z2 = N or CH; and at least one of Z1 or Z2 = N; Z3 = CRx; Z4 = CRy; Ra = halo, OR, COR, CO2R, COCOR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, etc.; R and R4 are defined above]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the inhibition of GSK-B3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of < 0.1 µM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 µM for Aurora-2.
IT 404826-24-OP 404826-25-1P, (5-Methyl-2H-pyrazol-3-yl)(3-phenylisoquinolin-1-yl)amine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404826-24-0 CAPLUS
CN 4-Quinolamine, N-(5-methyl-1H-pyrazol-3-yl)-2-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



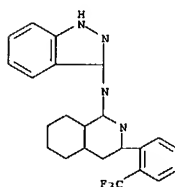
RN 404826-25-1 CAPLUS
CN 1-Isoquinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-3-phenyl- (9CI) (CA INDEX NAME)



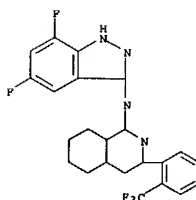
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
IT 404829-63-6P, (1H-Indazol-3-yl)[3-(2-(trifluoromethyl)phenyl)isoquinoline-1-yl]amine 404829-65-8P, (5,7-Difluoro-1H-indazol-3-yl)[3-(2-(trifluoromethyl)phenyl)isoquinolin-1-yl]amine 404829-66-9P, (1H-Indazol-3-yl)(2-phenylquinolin-4-yl)amine 404829-67-0P, (2-Phenylquinolin-4-yl)(1H-pyrazolo[4,3-b]pyridin-3-yl)amine 404829-68-1P, (1H-Indazol-3-yl)[2-(2-(trifluoromethyl)phenyl)quinolin-4-yl]amine 404829-69-2P, (5,7-Difluoro-1H-indazol-3-yl)[2-(2-(trifluoromethyl)phenyl)quinolin-4-yl]amine 404829-70-5P, [2-(2-(Trifluoromethyl)phenyl)quinolin-4-yl](1H-pyrazolo[4,3-b]pyridin-3-yl)amine 404858-63-5P 404858-64-6P 404858-65-7P 404858-66-8P 404858-67-9P 404858-68-0P 404858-69-1P 404858-70-4P 404858-71-5P 404858-72-6P 404858-73-7P 404858-74-8P 404858-75-9P 404858-76-0P 404858-77-1P 404858-78-2P 404858-79-3P 404858-80-6P 404858-81-7P 404858-82-8P 404858-83-9P 404858-84-0P 404858-85-1P 404858-86-2P 404858-87-3P 404858-88-4P 404858-89-5P 404858-90-6P 404858-91-9P 404858-92-0P 404858-93-1P 404858-94-2P 404858-95-3P 404858-96-4P 404858-97-5P 404858-98-6P 404858-99-7P 404859-00-3P

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

404859-01-4P 404859-02-5P 404859-03-6P 404859-04-7P 404859-05-8P 404859-06-9P 404859-07-0P 404859-08-1P 404859-09-2P 404859-10-5P 404859-11-6P 404859-12-7P 404859-13-8P 404859-14-9P 404859-15-0P 404859-16-1P 404859-17-2P 404860-48-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; prepn. of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404829-63-6 CAPLUS
CN 1-Isoquinolinamine, N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

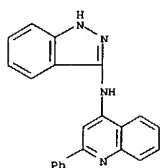


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-65-8 CAPLUS
CN 1-Isoquinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

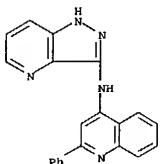


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-66-9 CAPLUS
CN 4-Quinolamine, N-1H-indazol-3-yl-2-phenyl- (9CI) (CA INDEX NAME)

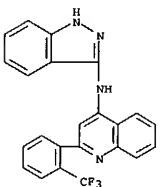
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



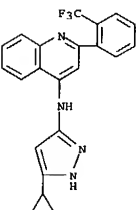
RN 404829-67-0 CAPLUS
 CN 4-Quinolinamine, 2-phenyl-N-1H-pyrazolo[4,3-b]pyridin-3-yl- (9CI) (CA INDEX NAME)



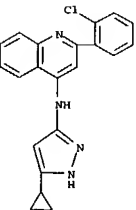
RN 404829-68-1 CAPLUS
 CN 4-Quinolinamine, N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



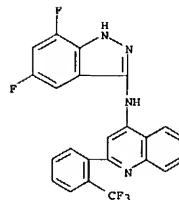
RN 404858-64-6 CAPLUS
 CN 4-Quinolinamine, 2-(2-chlorophenyl)-N-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)



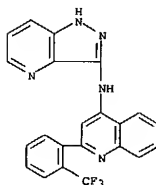
RN 404858-65-7 CAPLUS
 CN 4-Quinolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 404829-69-2 CAPLUS
 CN 4-Quinolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

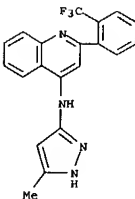


RN 404829-70-5 CAPLUS
 CN 4-Quinolinamine, N-1H-pyrazolo[4,3-b]pyridin-3-yl-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

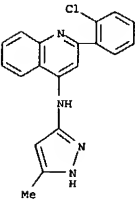


RN 404858-63-5 CAPLUS
 CN 4-Quinolinamine, N-(5-cyclopropyl-1H-pyrazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

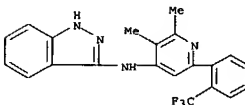
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 404858-66-8 CAPLUS
 CN 4-Quinolinamine, 2-(2-chlorophenyl)-N-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

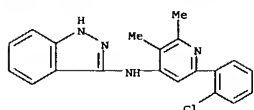


RN 404858-67-9 CAPLUS
 CN 1H-Indazol-3-amine, N-[2,3-dimethyl-6-[2-(trifluoromethyl)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

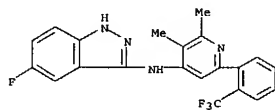


RN 404858-68-0 CAPLUS
 CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-2,3-dimethyl-4-pyridinyl]- (9CI) (CA INDEX NAME)

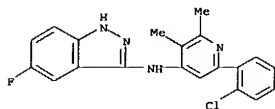
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



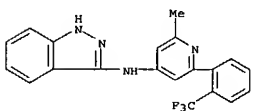
RN 404858-69-1 CAPLUS
 CN 1H-Indazol-3-amine, N-[2,3-dimethyl-6-(2-(trifluoromethyl)phenyl)-4-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)



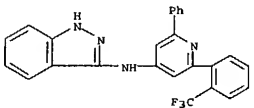
RN 404858-70-4 CAPLUS
 CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-2,3-dimethyl-4-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)



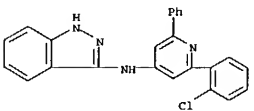
RN 404858-71-5 CAPLUS
 CN 1H-Indazol-3-amine, N-[2-methyl-6-(2-(trifluoromethyl)phenyl)-4-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)



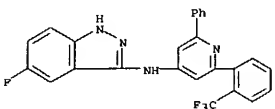
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



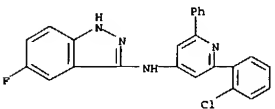
RN 404858-76-0 CAPLUS
 CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6-phenyl-4-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)



RN 404858-77-1 CAPLUS
 CN 1H-Indazol-3-amine, N-[2-phenyl-6-(2-(trifluoromethyl)phenyl)-4-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)



RN 404858-78-2 CAPLUS
 CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6-phenyl-4-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)

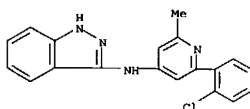


RN 404858-79-3 CAPLUS
 CN 4-Quinolinamine, 5,6,7,8-tetrahydro-N-(5-fluoro-1H-indazol-3-yl)-2-(2-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

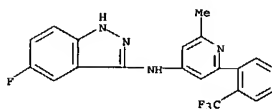
Habe

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

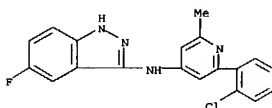
RN 404858-72-6 CAPLUS
 CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6-methyl-4-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)



RN 404858-73-7 CAPLUS
 CN 1H-Indazol-3-amine, N-[2-methyl-6-(2-(trifluoromethyl)phenyl)-4-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)

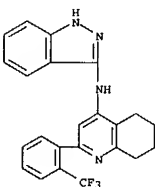


RN 404858-74-8 CAPLUS
 CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6-methyl-4-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)

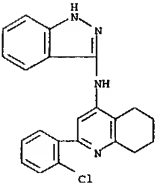


RN 404858-75-9 CAPLUS
 CN 1H-Indazol-3-amine, N-[2-phenyl-6-(2-(trifluoromethyl)phenyl)-4-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)

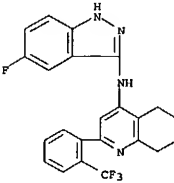
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 404858-80-6 CAPLUS
 CN 4-Quinolinamine, 2-(2-chlorophenyl)-5,6,7,8-tetrahydro-N-(5-fluoro-1H-indazol-3-yl)- (9CI) (CA INDEX NAME)



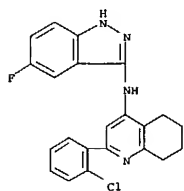
RN 404858-81-7 CAPLUS
 CN 4-Quinolinamine, N-(5-fluoro-1H-indazol-3-yl)-5,6,7,8-tetrahydro-2-(2-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)



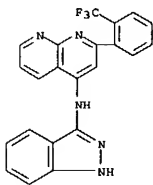
RN 404858-82-8 CAPLUS
 CN 4-Quinolinamine, N-(5-fluoro-1H-indazol-3-yl)-5,6,7,8-tetrahydro-2-(2-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

10/05/2004

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
tetrahydro- (9CI) (CA INDEX NAME)

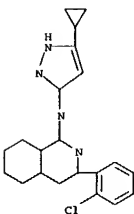


RN 404858-83-9 CAPLUS
CN 1,8-Naphthyridin-4-amine,
N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]-
(9CI) (CA INDEX NAME)

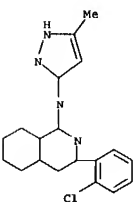


RN 404858-84-0 CAPLUS
CN 1H-Indazol-3-amine, N-[3,4-dimethyl-6-[2-(trifluoromethyl)phenyl]-2-
pyridinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1-Isoquinolinamine, 3-(2-chlorophenyl)-N-(5-cyclopropyl-1H-pyrazol-3-yl)-
(9CI) (CA INDEX NAME)

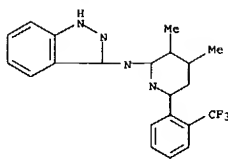


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404858-88-4 CAPLUS
CN 1-Isoquinolinamine, 3-(2-chlorophenyl)-N-(5-methyl-1H-pyrazol-3-yl)-
(9CI) (CA INDEX NAME)

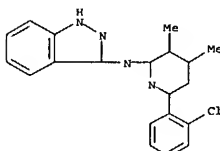


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404858-89-5 CAPLUS
CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-3,4-dimethyl-2-pyridinyl]-5-
fluoro- (9CI) (CA INDEX NAME)

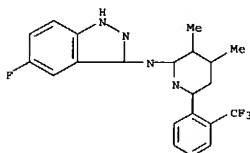
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404858-85-1 CAPLUS
CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-3,4-dimethyl-2-pyridinyl]-
(9CI) (CA INDEX NAME)

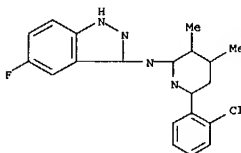


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404858-86-2 CAPLUS
CN 1H-Indazol-3-amine, N-[3,4-dimethyl-6-[2-(trifluoromethyl)phenyl]-2-
pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)

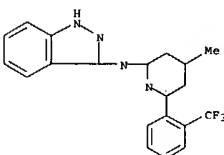


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404858-87-3 CAPLUS

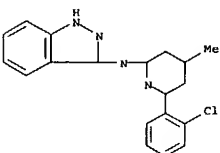
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404858-90-8 CAPLUS
CN 1H-Indazol-3-amine, N-[4-methyl-6-[2-(trifluoromethyl)phenyl]-2-pyridinyl]-
(9CI) (CA INDEX NAME)

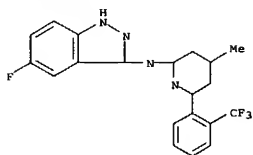


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404858-91-9 CAPLUS
CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-4-methyl-2-pyridinyl]- (9CI)
(CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404858-92-0 CAPLUS
CN 1H-Indazol-3-amine, 5-fluoro-N-[4-methyl-6-[2-(trifluoromethyl)phenyl]-2-
pyridinyl]- (9CI) (CA INDEX NAME)

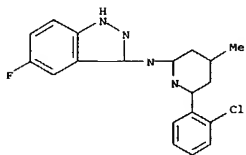
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404858-93-1 CAPLUS

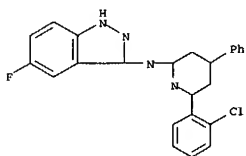
CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-4-methyl-2-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404858-94-2 CAPLUS

CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-4-phenyl-2-pyridinyl]-5-fluoro- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404858-95-3 CAPLUS

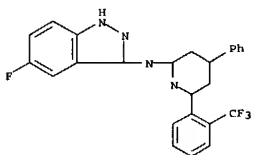
CN 1-Isoquinolinamine, 3-(2-chlorophenyl)-N-(5-fluoro-1H-indazol-3-yl)-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404858-98-6 CAPLUS

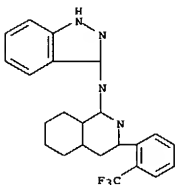
CN 1H-Indazol-3-amine, 5-fluoro-N-[4-phenyl-6-[2-(trifluoromethyl)phenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404858-99-7 CAPLUS

CN 1-Isoquinolinamine, 5,6,7,8-tetrahydro-N-1H-indazol-3-yl-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

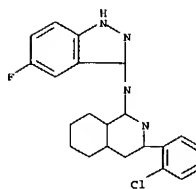


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404859-00-3 CAPLUS

CN 1-Isoquinolinamine, 3-(2-chlorophenyl)-5,6,7,8-tetrahydro-N-1H-indazol-3-yl- (9CI) (CA INDEX NAME)

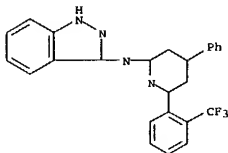
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404858-96-4 CAPLUS

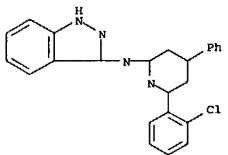
CN 1H-Indazol-3-amine, N-[4-phenyl-6-[2-(trifluoromethyl)phenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



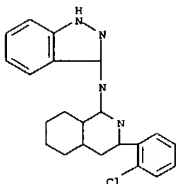
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404858-97-5 CAPLUS

CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)-4-phenyl-2-pyridinyl]- (9CI) (CA INDEX NAME)



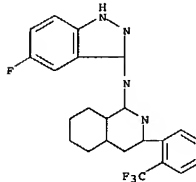
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404859-01-4 CAPLUS

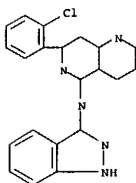
CN 1-Isoquinolinamine, N-(5-fluoro-1H-indazol-3-yl)-5,6,7,8-tetrahydro-3-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



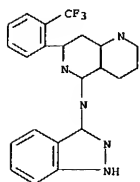
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404859-02-5 CAPLUS

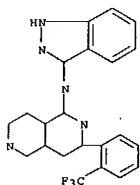
CN 1,6-Naphthyridin-5-amine, 7-(2-chlorophenyl)-N-1H-indazol-3-yl- (9CI) (CA INDEX NAME)



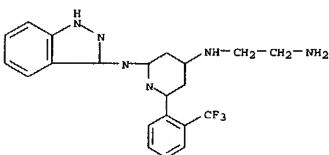
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 404859-03-6 CAPLUS
 CN 1,6-Naphthyridin-5-amine,
 N-1H-indazol-3-yl-7-[2-(trifluoromethyl)phenyl]-
 (9CI) (CA INDEX NAME)



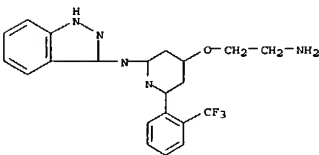
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 404859-04-7 CAPLUS
 CN 2,6-Naphthyridin-3-amine,
 N-1H-indazol-3-yl-2-[2-(trifluoromethyl)phenyl]-
 (9CI) (CA INDEX NAME)



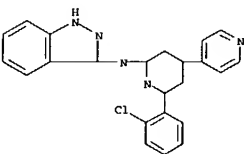
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 404859-05-8 CAPLUS
 CN 1,7-Naphthyridin-8-amine,
 N-1H-indazol-3-yl-6-[2-(trifluoromethyl)phenyl]-
 (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 404859-09-2 CAPLUS
 CN 1H-Indazol-3-amine, N-[4-(2-aminoethoxy)-6-[2-(trifluoromethyl)phenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



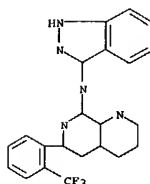
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 404859-10-5 CAPLUS
 CN 1H-Indazol-3-amine, N-[6-(2-chlorophenyl)[4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)



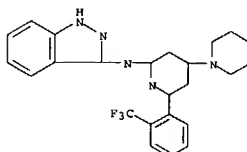
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 404859-11-6 CAPLUS
 CN 1H-Indazol-3-amine, N-[2-cyclohexyl-6-[2-(trifluoromethyl)phenyl]-4-

Habte

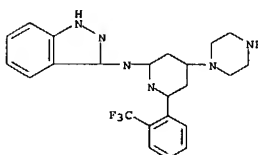
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 404859-06-9 CAPLUS
 CN 1H-Indazol-3-amine, N-[4-(1-piperidinyl)-6-[2-(trifluoromethyl)phenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

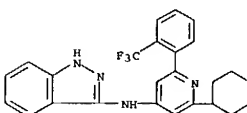


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 404859-07-0 CAPLUS
 CN 1H-Indazol-3-amine, N-[4-(1-piperazinyl)-6-[2-(trifluoromethyl)phenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

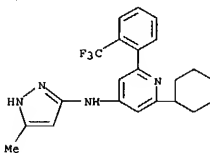


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

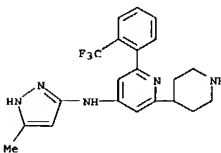
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 404859-12-7 CAPLUS
 CN 4-Pyridinamine, 2-cyclohexyl-N-(5-methyl-1H-pyrazol-3-yl)-6-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



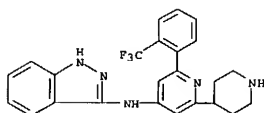
RN 404859-13-8 CAPLUS
 CN 4-Pyridinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-piperidinyl)-6-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



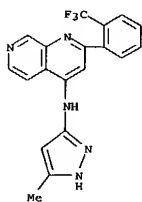
RN 404859-14-9 CAPLUS
 CN 1H-Indazol-3-amine, N-[2-(4-piperidinyl)-6-[2-(trifluoromethyl)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

10/05/2004

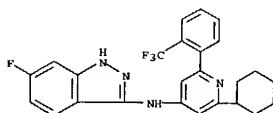
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 404859-15-0 CAPLUS
 CN 1,7-Naphthyridin-4-amine, N-(5-methyl-1H-pyrazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 404859-16-1 CAPLUS
 CN 1H-Indazol-3-amine, N-[2-cyclohexyl-6-[2-(trifluoromethyl)phenyl]-4-pyridinyl]-6-fluoro- (9CI) (CA INDEX NAME)



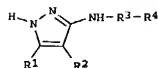
RN 404859-17-2 CAPLUS
 CN 1,7-Naphthyridin-4-amine, N-(5-methyl-1H-pyrazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:171863 CAPLUS
 DOCUMENT NUMBER: 136:232297
 TITLE: Preparation of pyrazole derivatives and their use as protein kinase inhibitors
 INVENTOR(S): Cooper, Christopher Blair; Helal, Christopher John; Sanner, Mark Allen; Wager, Travis T.
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 98 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018346	A1	20020307	WO 2001-1B1540	20010824
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GR, GM, HR, HU, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, RU, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001080009	AS	20020313	AU 2001-80009	20010824
EP 1313710	A1	20030528	EP 2001-958287	20010824
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013574	A	20030722	BR 2001-13574	20010824
JP 2004507526	T2	20040311	JP 2002-523464	20010824
US 2002103185	A1	20020801	US 2001-941001	20010828
BG 107455	A	20030930	BG 2003-107455	20030113
HR 2003000140	A1	20030430	HR 2003-140	20030226
NO 2003000958	A	20030228	NO 2003-958	20030228
PRIORITY APPLN. INFO.:			US 2000-229415P	P 20000831
			US 2000-232032P	P 20000912
			WO 2001-1B1540	W 20010824

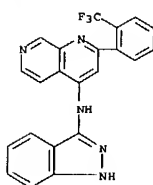
OTHER SOURCE(S): MARPAT 136:232297
 GI



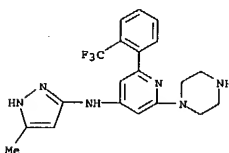
AB Pyrazole derivs. [I; wherein R1 = straight chain or branched (C1-C11)alkyl, (C2-C8)alkenyl, (C2-C8)alkynyl, (C3-C8)cycloalkyl, (C4-C8)cycloalkenyl,

Habte

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 404860-48-6 CAPLUS
 CN 4-Pyridinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(1-piperazinyl)-6-(2-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)



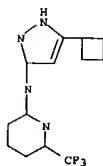
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

(3-8 membered) heterocycloalkyl, (C5-C11)bicycloalkyl, (C7-C11)bicycloalkenyl, or (5-11 membered) heterobicycloalkyl; R2 = H, F, -CH3, -CN, or carboxy; R3 = amide, carboxy, etc.; R4 = straight chain or branched (C1-C8)alkyl, (C2-C8)alkenyl, (C2-C8)alkynyl, (C3-C8)cycloalkyl, (C4-C8)cycloalkenyl, (3-8 membered) heterocycloalkyl, (C5-C11)bicycloalkyl, (C7-C11)bicycloalkenyl, (5-11 membered) heterobicycloalkyl, (C6-C14)aryl, or (5-14 membered) heteroaryl were prep. Thus, lithiated cyclobutyl ketone was reacted with 4-nitrophenyl isothiocyanate to give 53% 3-cyclobutyl-N-(4-nitrophenyl)-3-oxo-thiopropionamide, which was reacted with acetic acid, followed by anhyd. hydrazine to give 88% (5-cyclobutyl-1H-pyrazol-3-yl)-(4-nitrophenyl)amine. The prep. compds. are indicated to have activity inhibiting cdk2, cdk5, and GSK-3. In fact, all of the title compds. had an IC50 inhibiting peptide substrate phosphorylation of < 50 μM when assayed for cdk5 inhibition, and several had an IC50 for inhibition of GSK-3β of < 50 μM.

IT 403595-56-2P 403595-63-1P 403595-64-2P
 403595-65-3P 403597-00-2P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyrazole derivs. and use as protein kinase inhibitors)

RN 403595-56-2 CAPLUS
 CN 2-Pyridinamine, N-(5-cyclobutyl-1H-pyrazol-3-yl)-6-(2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

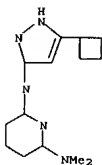


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

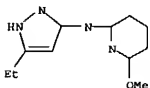
RN 403595-63-1 CAPLUS
 CN 2,6-Pyridinediamine, N'-(5-cyclobutyl-1H-pyrazol-3-yl)-N,N-dimethyl- (9CI) (CA INDEX NAME)

10/05/2004

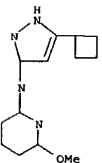
L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 403595-64-2 CAPLUS
 CN 2-Pyridinamine, N-(5-ethyl-1H-pyrazol-3-yl)-6-methoxy- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 403595-65-3 CAPLUS
 CN 2-Pyridinamine, N-(5-cyclobutyl-1H-pyrazol-3-yl)-6-methoxy- (9CI) (CA INDEX NAME)



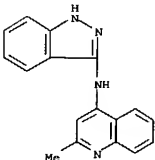
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 403597-00-2 CAPLUS
 CN 2-Pyridinamine, 6-methoxy-N-[5-[(cis-3-(2-methoxyphenyl)cyclobutyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1974:3518 CAPLUS
 DOCUMENT NUMBER: 80:3518
 TITLE: Substituted 4-(indazolamino)quinolines
 INVENTOR(S): Wasley, Jan W. P.; Wajngurt, Abraham
 PATENT ASSIGNEE(S): Ciba-Geigy Corp.
 SOURCE: U.S., 15 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

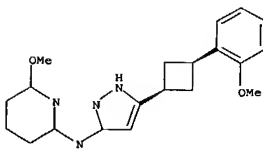
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3755332	A	19730828	US 1971-159061	19710701
PRIORITY APPLN. INFO.:			US 1968-725176	19680429
			US 1969-818044	19690421

GI For diagram(s), see printed CA Issue.
 AB About 45 quinolinaminoindazoles I (R = H, Me, CO₂H, Ph, etc.; R₁ = H, CO₂Et; R₂ = H, 7-F3C, 7-Cl; R₃ = H, Me; R₄ = H, 3-Cl; the quinolinamino group attached at the 3, 5, and 6 position of the indazole) were prepared. Thus, 6-aminoindazole was treated with 4,7-dichloroquinoline to give I (R = R₁ = R₃ = R₄ = H, R₂ = Cl, the quinolinamino group attached at the 6-position of the indazole). I were antiinflammatory, antihypertensive, and antimalarial at 10-400 mg/kg.
 IT 50592-90-0P 50592-91-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 50592-90-0 CAPLUS
 CN 4-Quinolinamine, N-1H-indazol-3-yl-2-methyl- (9CI) (CA INDEX NAME)



RN 50592-91-1 CAPLUS
 CN 4-Quinolinamine, N-(6-chloro-1H-indazol-3-yl)-2-methyl- (9CI) (CA INDEX NAME)

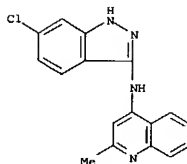
L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS

FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



10/736,426

Page 3

G1:C,O,S,N,Cb,Ak

G2:C,O,S,N,CH,SO2,NH,NH2,CH2,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

Match level :

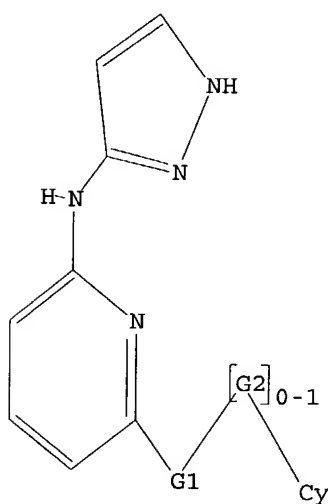
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 15:CLASS 16:Atom 17:Atom

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 C,O,S,N,Cb,Ak

G2 C,O,S,N,CH,SO2,NH,NH2,CH2,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 13:43:58 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 112 TO ITERATE

100.0% PROCESSED 112 ITERATIONS

SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 1606 TO 2874

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 13:44:05 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 2199 TO ITERATE

Habte

10/05/2004

100.0% PROCESSED 2199 ITERATIONS
SEARCH TIME: 00.00.01

3 ANSWERS

L3 3 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.42

155.63

FILE 'CAPLUS' ENTERED AT 13:44:11 ON 05 OCT 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 5 Oct 2004 VOL 141 ISS 15

FILE LAST UPDATED: 4 Oct 2004 (20041004/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

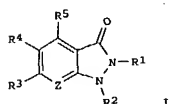
=> s l3

L4 1 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS ON STN
 ACCESSION NUMBER: 2004:370926 CAPLUS
 DOCUMENT NUMBER: 140:391292
 TITLE: Preparation of indazolinone compositions useful as kinase inhibitors
 INVENTOR(S): Aronov, Alex; Lauffer, David J.; Li, Huan Qui;
 Tomlinson, Ronald Charles; Li, Pan
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 260 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037814	A1	20040506	WO 2003-US34065	20031027
M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NL, NT, PD, PE, PG, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, SJ, SM, SN, SR, SS, ST, SV, SW, SZ, TD, TG, TH, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, BG, BR, BU, CA, CH, CN, CO, CR, CU, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004167121	A1	20040826	US 2003-694534	20031027
PRIORITY APPLN. INFO.:			US 2002-421398P	P 20021025
OTHER SOURCE(S): MARPAT 140:391292				
GI				

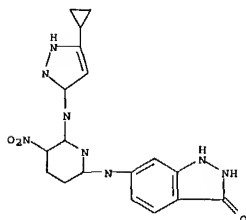


AB The present invention provides compds. of formula (I). (Wherein R1, R2 = H or a nitrogen protecting group; one of R3 or R4 = R and the other one of R3 or R4 = -O1-A-O2-Y; wherein O1 = a valence bond, NRA, C(Ra)2, S, O, SO2, NRA-SO2, SO2-NRA, CO, NRA-CO, CONRA, OC(O), C(O)O, OC(O)NRA, 1,2-cyclopropanediy1, 1,2-cyclobutanediyl, or 1,3-cyclobutanediyl, optionally substituted C2-4 alkylidene, etc.; wherein Ra = H, each

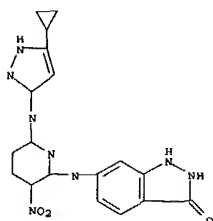
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)
 optionally substituted C1-4 aliph.; A = optionally substituted 5-to 7-membered monocyclic or 8- to 10-membered bicyclic aryl, heteroaryl, heterocyclic, carbocyclic ring, or C2-6 alkylidene, etc.; Q2 = NRA, SO, or C(Rc)2; wherein Rc = H, optionally substituted C1-4 aliph.; Y = each optionally substituted 5- to 7-membered monocyclic or 8- to 10-membered bicyclic aryl, heteroaryl, heterocyclic, or carbocyclic ring; R5 = R; Z = N, CR6; wherein R6 = R; R = H, halo, O-halogen, cyano, Q-CN, NO2, Q-NO2, R7, Q-R7; Q = optionally substituted C1-4 alkylidene; wherein one or more methylene units of Q is optionally replaced by O, S, NR7, NR7CO, NR7CONR7, NR7CO2, CO, CO2, CONR7, OC(O)NR7, SO2, SO2NR7, NR7SO2, NR7SO2NR7, C(O)C(O), or C(O)C(R7)2C(O); wherein R7 = H, each optionally substituted aliph., heteroaliph., aryl or heteroaryl. The compds. I and pharmaceutically acceptable compns. thereof, are useful generally as protein kinase inhibitors, particularly as inhibitors of protein kinase PRAK, protein kinase GSK3, protein kinase ERK2, protein kinase CDK2, MAP kinase-activated protein kinase 2 (MK2), SRC kinase, protein kinase SYK, and protein kinase Aurora-2. Accordingly, the compds. I and compns. of the invention are useful for treating or lessening the severity of a disease or condition selected from cardiovascular disease, diabetes, neurol. disorders (e.g. Alzheimer's disease), immunodeficiency disorders, inflammatory diseases, allergic diseases, autoimmune diseases, destructive bone disorders such as osteoporosis, proliferative disorders, infectious diseases, and viral diseases. Thus, a soln. of (2-chloroquinazolin-4-yl)(5-cyclopropyl-1H-pyrazol-3-yl)amine (50.0 mg, 0.175 mmol) and 6-amino-3-oxo-2,3-dihydroindazole-1-carboxylic acid tert-Bu ester (69.8 mg, 0.280 mmol) in NMP (1.0 mL) was heated up to 100° for 6 h to give, after workup, acidification with CF3CO2H, and HPLC purifn., 6-[[4-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]quinazolin-2-yl]amino]-1,2-dihydroindazol-3-one trifluoroacetate. Some compds. of the formula I were shown to have Ki of <0.1 µM for GSK-3 and Aurora-2 and <1.0 µM for CDK-2, ERK2, PRAK, SRC, SYK, and MK2.

IT 685867-13-49, 6-[[6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-5-nitropyridin-2-yl]amino]-1,2-dihydroindazol-3-one 685867-15-69, 6-[[6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-3-nitropyridin-2-yl]amino]-1,2-dihydroindazol-3-one 685867-16-79, 6-[[5-Amino-6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]pyridin-2-yl]amino]-1,2-dihydroindazol-3-one
 RU: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 [Preparation of indazolinone derivs. as kinase inhibitors for treating or lessening severity of diseases or conditions]
 RN 685867-13-4 CAPLUS
 CN 3H-Indazol-3-one, 6-[[6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-5-nitro-2-pyridinyl]amino]-1,2-dihydro- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

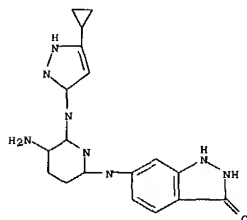


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 685867-15-6 CAPLUS
 CN 3H-Indazol-3-one, 6-[[6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-3-nitro-2-pyridinyl]amino]-1,2-dihydro- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 685867-16-7 CAPLUS
 CN 3H-Indazol-3-one, 6-[[5-amino-6-[(5-cyclopropyl-1H-pyrazol-3-yl)amino]-2-pyridinyl]amino]-1,2-dihydro- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 13:41:02 ON 05 OCT 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 4 OCT 2004 HIGHEST RN 756793-93-8

DICTIONARY FILE UPDATES: 4 OCT 2004 HIGHEST RN 756793-93-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

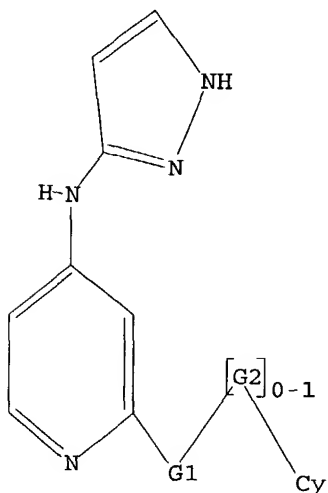
Uploading C:\Program Files\Stnexp\Queries\10736426bb.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 C,O,S,N,Cb,Ak

G2 C,O,S,N,CH,SO2,NH,NH2,CH2,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 13:41:26 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 215 TO ITERATE

100.0% PROCESSED 215 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 3421 TO 5179

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 13:41:42 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 4063 TO ITERATE

100.0% PROCESSED 4063 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.42

155.63

STN INTERNATIONAL LOGOFF AT 13:41:47 ON 05 OCT 2004